## **EAST Search History**

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1·	4	(("6103720") or ("6057290")).PN.	US-PGPUB; USPAT; EPO; DERWENT	OR	OFF	2006/11/22 12:18
L2	421	(544/173).CCLS.	US-PGPUB; USPAT; EPO; DERWENT	OR	OFF	2006/11/22 12:19
L3	453	(514/231.2).CCLS.	US-PGPUB; USPAT; EPO; DERWENT	OR .	OFF	2006/11/22 12:19
L4 .	236	(514/239.5).CCLS.	US-PGPUB; USPAT; EPO; DERWENT	OR	OFF	2006/11/22 12:20

11/22/06 12:20:53 PM Page 1

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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS
                 ADISCTI Reloaded and Enhanced
        AUG 28
NEWS
NEWS
        AUG 30
                 CA(SM)/CAplus(SM) Austrian patent law changes
      5
         SEP 11
                 CA/CAplus enhanced with more pre-1907 records
NEWS
     6
                 CA/CAplus fields enhanced with simultaneous left and right
         SEP 21
NEWS
     7
                 truncation
                 CA(SM)/CAplus(SM) display of CA Lexicon enhanced
         SEP 25
     8
NEWS
         SEP 25
                 CAS REGISTRY(SM) no longer includes Concord 3D coordinates
NEWS 9
                 CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine
NEWS 10
         SEP 25
         SEP 28
                 CEABA-VTB classification code fields reloaded with new
NEWS 11
                 classification scheme
         OCT 19
                 LOGOFF HOLD duration extended to 120 minutes
NEWS 12
NEWS 13
         OCT 19
                 E-mail format enhanced
NEWS 14
         OCT 23
                 Option to turn off MARPAT highlighting enhancements available
        OCT 23
                 CAS Registry Number crossover limit increased to 300,000 in
NEWS 15
                 multiple databases
                 The Derwent World Patents Index suite of databases on STN
NEWS 16 OCT 23
                 has been enhanced and reloaded
                 CHEMLIST enhanced with new search and display field
         OCT 30
NEWS 17
                 JAPIO enhanced with IPC 8 features and functionality
NEWS 18
        NOV 03
NEWS 19 'NOV 10
                 CA/CAplus F-Term thesaurus enhanced
        NOV 10
                 STN Express with Discover! free maintenance release Version
NEWS 20
                 8.01c now available
                 CA/CAplus pre-1967 chemical substance index entries enhanced
        NOV 13
NEWS 21
                 with preparation role
                 CAS Registry Number crossover limit increased to 300,000 in
NEWS 22
         NOV 20
                 additional databases
                 CA/CAplus to MARPAT accession number crossover limit increased
NEWS 23
         NOV 20
                 to 50,000
NEWS 24
         NOV 20
                 CA/CAplus patent kind codes will be updated
NEWS EXPRESS
             NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.
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FILE 'HOME' ENTERED AT 11:32:05 ON 22 NOV 2006

=> fil reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.63 0.63

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 21 NOV 2006 HIGHEST RN 913812-85-8 DICTIONARY FILE UPDATES: 21 NOV 2006 HIGHEST RN 913812-85-8

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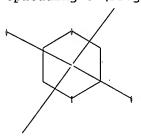
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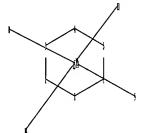
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chain nodes :
8 9 10 11
ring nodes :
1 2 3 4 5 6
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS

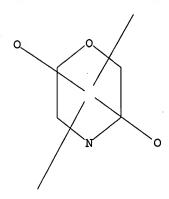
## L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1

STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 11:33:58 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 26770 TO ITERATE

7.5% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

525613 TO 545187

PROJECTED ANSWERS:

48 TO 486

L2 1 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 11:34:00 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 535473 TO ITERATE

100.0% PROCESSED 535473 ITERATIONS SEARCH TIME: 00.00.03

70 ANSWERS

1 ANSWERS

L3 70 SEA SSS FUL L1

=> s 13 and caplus/lc

52721328 CAPLUS/LC

L4 68 L3 AND CAPLUS/LC

=> s 13 not 14

L5 2 L3 NOT L4

=> d 15 1-2

L5 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN
RN 29721-58-2 REGISTRY
ED Entered STN: 16 Nov 1984
C Carbaml chloride, (3,5-dimethoxy-3,5-dimethylmorpholinyl)- (9CI) (CA INDEX NAME)
F C9 H17 C1 N2 O4
CI IDS

L5 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN
RN 20276-82-8 REGISTRY
ED Entered STN: 16 Nov 1984
CN 3-Morpholinebutanoic acid, α-amino-3-hydroxy-6-methyl-2,5-dioxo(9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 2H-1,4-Oxazine-3-butyric acid, α-aminotetrahydro-3-hydroxy-6-methyl2,5-dioxo- (8CI)
MF C9 H14 N2 O6

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

=> fil caplus COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 177.70 178.33

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L1 STRUCTURE UPLOADED

L2 1 S L1

L3 70 S L1 FULL

L4 68 S L3 AND CAPLUS/LC

L5 2 S L3 NOT L4

FILE 'CAPLUS' ENTERED AT 11:37:22 ON 22 NOV 2006

=> s 14

L6 35 L4

=> d ibib abs hitstr 1-35

L6 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
1142:176779
1142:176779
AUTHOR(S):
AUTHOR(S):
CORPORATE SOURCE:

SOURCE:

CORPORATE SOURCE:

SOURCE:

DEPARTMENT OF AUTHOR(S):
CORPORATE SOURCE:

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DEPARTMENT OF AUTHOR(S):
AUTHOR(S):
CORPORATE SOURCE:

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SOURCE:
CORPORATE SOUR

AB The preparation of butane 2,3-diacetal protected glycolic acid and related systems is described together with highly selective alkylation reactions of (R,R) and (S,S)-butane diacetal protected glycolic acid. These

systems is described together with highly streetive alkylation teactions of [R, R] and [S, S]-butane diacetal protected glycolic acid. These compds.

are readily deprotected to give enanticity of the stream of t

Absolute stereochemistry.

ACCESSION NUMBER:

DOCUMENT NUMBER:

ANSWER 2 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN
25SION NUMBER: 2004:626640 CAPLUS
MENT NUMBER: 141:31459

E: The preparation and alkylation of a butanedinon-derived chiral glycine equivalent and its use for the synthesis of a-amino acids and a,a-disubstituted amino acids

(OR(S): Harding, Christopher I.; Dixon, Darren J.; Ley, yen

AUTHOR(S): Steven

V. Department of Chemistry, University of Cambridge, Cambridge, CB2 1EW, UK
Tetrahedron (2004), 60(35), 7679-7692
CODEN: TETRAB; ISSN: 0040-4020
Elsevier B.V.
Journal
English
CASREACT 141:314593 CORPORATE SOURCE:

SOURCE:

PUBLISHER:

DOCUMENT TYPE: LANGUAGE:

OTHER SOURCE(S):

AB Benzyloxycarbonyl (Z)-protected glycine equivalent I has been prepared in enantiopure form and has been used in the synthesis of both σ-substituted amino acids and σ,σ-disubstituted amino acids. The process involved deprotomation to form the corresponding enolates which underwent stereoselective alkylation with various electrophiles and upon hydrolysis gave the corresponding amino acid derivs. as enantiomerically pure products.

15 565234-15-3P 565234-16-4P 565234-17-5P
565234-18-6P 565234-19-7P 565234-20-0P
565234-18-6P 565234-19-7P 763101-45-7P
763101-49-1P 763101-45-1P 763101-62-8P
763101-64-0P 763101-65-2P
RL: RCT (Reactant): SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or respent)
(preparation and alkylation of butanedione-derived chiral glycine equivalent for synthesis of α-amino acids)

N 565234-15-3 CAPIUS
CN 4-Morpholinecarboxylic acid, 6-(bromomethyl)-2,3-dimethoxy-2,3-dimethyl-, phenylmethyl ester, (2S,3R,SS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

ANSWER 1 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: THIS

THERE ARE 69 CITED REFERENCES AVAILABLE FOR

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FORMAT

ANSWER 2 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

565234-16-4 CAPLUS 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-methylene-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

565234-17-5 CAPLUS
4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

565234-18-6 CAPLUS 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-oxo-5-{2-propenyl}-, phenylmethyl ester, (2S,3R,5R)- (SCI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

565234-19-7 CAPLUS
4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3,5-trimethyl-6-oxo-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

565234-20-0 CAPLUS
4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-oxo-5-(phenylmethyl-, phenylmethyl ester, (25,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

565234-27-7 CAPLUS

4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3,5-trimethyl-6-oxo-5-(phenylmethyl)-, phenylmethyl ester, (2S,3R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

ANSWER 2 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-5-[(5-methyl-3-isoxazolyl)methyl]-6-oxo-5-(phenylmethyl)-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

763101-51-5 CAPLUS 4-Morpholinecarboxylic acid, 5-[2-[4-(heptyloxy)phenyl]ethyl]-2,3-dimethoxy-2,3,5-trimethyl-6-oxo-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

763101-62-8 CAPLUS
4-Morpholineczpoxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-oxo-5,5-di-2-propenyl-, phenylmethyl ester, (28,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

763101-64-0 CAPLUS 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-5-(2-methyl-2-propentyl)-6-oxo-5-(2-propentyl)-, phenylmethyl ester, (2S,3R,5S)- (9CI) (CA INDEX NAME)

L6 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

763101-44-6 CAPLUS 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-5-(2-methyl-2-propenyl)-6-oxo-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

763101-45-7 CAPLUS
4-Morpholinecarboxylic acid, 5-[[3,4-bis(2,2-dimethyl-1-oxopropoxy)phenyl]methyl]-2,3-dimethoxy-2,3-dimethyl-6-oxo-, phenylmethyl ester, (25,3R,SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

763101-49-1 CAPLUS

L6 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) Absolute stereochemistry. Rotation (+).

763101-66-2 CAPLUS 3-Morpholinepropanoic acid, 5,6-dimethoxy-5,6-dimethyl- $\alpha$ -methylene-2-oxo-4-[(phenylmethoxy)carbonyl]-3-(2-propenyl)-, methyl ester, SR,6S)-(3R, 5R, 6S) -(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

IT 565234-21-1P 565234-22-2P 565234-23-3P
565234-24-4P 565234-25-5P 565234-26-6P
763101-43-5P 763101-46-8P 763101-56-0P
763101-53-7P 763101-54-8P 763101-56-0P
763101-59-2P 763101-60-6P 845509-60-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and alkylation of butanedione-derived chiral glycine equivalent for synthesis of α-amino acids)
RN 565234-21-1 CAPLUS
4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-oxo-5-(2-propynyl)-, phenylmathyl ester, (25,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

565234-22-2 CAPLUS
4-Morpholinecarboxylic acid, 5-ethyl-2,3-dimethoxy-2,3-dimethyl-6-oxo-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

565234-23-3 CAPLUS 4-Morpholineczboxylic acid, 5-(3-furanylmethyl)-2,3-dimethoxy-2,3-dimethyl-6-oxo-, phenylmethyl ester, (28,38,58)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

565234-24-4 CAPLUS JOJZ34-24-4 CAPAUS
4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-5-(2-naphthalenylmethyl)-6-oxo-, phenylmethyl ester, (25,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

ANSWER 2 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

763101-46-8 CAPLUS
4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-oxo-5(phenylseleno)-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

763101-48-0 CAPLUS
4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3,5-trimethyl-6-oxo-5-{2-propenyl}-, phenylmethyl ester, (2S,3R,5S}- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

763101-53-7 CAPLUS
4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-5-{(5-methyl-3-isoxazolyl)methyl}-6-oxo-5-(phenylmethyl)-, phenylmethyl ester,
(25,3R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L6 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

565234-25-5 CAPLUS
3-Morpholineacetic acid, 5,6-dimethoxy-5,6-dimethyl-2-oxo-4-[phenylmethoxy]carbonyl]-, 1,1-dimethylethyl ester, (3R,5R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

565234-26-6 CAPLUS
4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3,5-trimethyl-6-oxo-5-(phenylmethyl)-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

763101-43-5 CAPLUS
4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-oxo-5-(2-phenylethyl)-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

1.6 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 763101-54-8 CAPLUS
CN 4-Morpholinecarboxylic acid,
2,3-dimethoy-2,3,5-trimethyl-5-[(5-methyl-3-isoxazolyl)methyl]-6-oxo-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

763101-56-0 CAPLUS
4-Morpholinecarboxylic acid, 5-(2-benzothiazolylmethyl)-2,3-dimethoxy-2,3,5-trimethyl-6-oxo-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 763101-58-2 CAPLUS

ANSWER 2 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continue 4-Morpholinecarboxylic acid, 5-[[3,4-bis(2,2-dimethyl)-coxpropoxy)phenylmethyl]-2,3-dimethoxy-2,3,5-trimethyl-6-oxo-, phenylmethyl ester, (23,3R,5R)- (9CI) (CA INDEX NAME) (Continued)

Absolute stereochemistry. Rotation (+).

763101-60-6 CAPLUS
4-Morpholinecarboxylic acid, 5-[[3,4-bis(2,2-dimethyl-1-oxopropoxy)phenyl]methyl]-2,3-dimethoxy-2,3,5-trimethyl-6-oxo-, phenylmethyl ester, (2S,3R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

845509-60-6 CAPLUS 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-oxo-, phenylmethyl ester, (2R,3S)- (9CI) (CA INDEX NAME)

Absolute stereochèmistry.

ANSWER 3 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN
SSION NUMBER: 2004:202747 CAPLUS
HENT NUMBER: 142:176721
E: Product subclass 2: one oxygen and one nitrogen or phosphorus atom
OR(S): Ulrich, H.
ORATE SOURCE: Guilford, CT, 06437, USA
CE: Science of Synthesis (2004), 17, 55-115
CODEN: SSCYJ9 ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:

AUTHOR (S):

CORPORATE SOURCE:

SOURCE:

PUBLISHER:

Georg Thieme Verlag Journal; General Review

DOCUMENT TYPE: LANGUAGE:

 $\ensuremath{\mathsf{AB}}$  A review. Methods for preparing six-membered heteroatoms containing two unlike

te heteroatoms selected from O, N, or P are reviewed including cyclization, ring transformation, aromatization, and substituent modification. 4430-01-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of six-membered heteroatoms containing two unlike heteroatoms

selected from O, N, or P via cyclization, ring transformation, aromatization, and substituent modification) 4430-01-7 CAPLUS 3,5-Morpholinedione, 2,6-dimethyl- (8CI, 9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 214 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT 214

ANSWER 2 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

REFERENCE COUNT:

THERE ARE 74 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 4 OF 35
ACCESSION NUMBER:
DOCUMENT NUMBER:
140:181396
Novel 6-Hydroxy-3-morpholinones as cornea permeable calpain inhibitors
Nakamura, Masayuki; Miyashita, Hiroyuki; Yamaguchi, Masazumi; Shirasaki, Yoshihisa; Nakamura, Yoshikuni; Inoue, Jun
CORPORATE SOURCE:
SOURCE:
SOURCE:
BESCHOOL BESCHO

PUBLISHER: DOCUMENT TYPE: LANGUAGE:

Journal English CASREACT 140:181396 OTHER SOURCE(S):

A novel series of 6-hydroxy-3-morpholinones I [Rl = Me2CH, Me2CHCH2, PhCH2; R2 = Ph, PhCH2, 2-naphthyl, 4-Me0C6H4, 4-Bu0C6H4, 4-(cyclohexyimethyl)phenyll, in which the functional aldehyde and the hydroxy group of P2 site form a cyclic hemiacetal, was identified as calpain inhibitors. The placement of iso-Bu group at the 2-position of the 3-morpholinone (Rl) was the most effective modification for hiting

expts. demonstrated that (s,S)-I (R1 = Me2CHCH2; R2 = Ph) (SNJ-1757) was more stable to nucleophilic attack than the corresponding aldehyde inhibitor II. Furthermore, (s,S)-I (R1 = Me2CHCH2; R2 = Ph) proved to have better corneal permeability than II in an in vitro experiment 611209-71-3P, SNJ 1757 611209-73-5P 611209-75-7P RL: PAC (Pharmacological activity): PRP (Properties): SPN (Synthetic preparation): BIOL (Biological study): PREP (Preparation) (preparation, water solubility and calpain inhibiting activity of

acid-derived chiral (hydroxy)oxazinones)
611209-71-3 CAPLUS
3-Morpholinone, 6-hydroxy-2-(2-methylpropyl)-5-(phenylmethyl)-, (2S,5S)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN. (Continued)

RN 611209-73-5 CAPLUS
CN 3-Morpholinone, 6-hydroxy-2-(2-methylpropyl)-5-(2-naphthalenylmethyl)-,
(2S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 611209-75-7 CAPLUS
CN 3-Morpholinone, 6-hydroxy-2-(1-methylethyl)-5-(phenylmethyl)-, (25,55)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 611209-72-4P 611209-74-6P 611209-76-8P 611209-77-9P 611209-78-0P 611209-79-1P 611209-80-4P 611209-81-5P RI: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation, water solubility and calpain inhibiting activity of amino acid-derived chiral (hydroxyloxazinones)

amino
acid-derived chiral (hydroxy)oxazinones)
RN 611209-72-4 CAPLUS
CN 3-Morpholinone, 6-hydroxy-2-(2-methylpropyl)-5-(2-phenylethyl)-, (2s,5s)[9C1] (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 611209-78-0 CAPLUS CN 3-Morpholinone, 6-hydroxy-5-[(4-hydroxyphenyl)methyl]-2-(2-methylpropyl)-, (2S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 611209-79-1 CAPLUS
CN 3-Morpholinone,
6-hydroxy-5-([4-methoxyphenyl]methyl]-2-(2-methylpropyl)-,
(25,58)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

RN 611209-80-4 CAPLUS
CN 3-Morpholinone, 5-[(4-butoxyphenyl)methyl]-6-hydroxy-2-(2-methylpropyl)-,
(23,53)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 611209-74-6 CAPLUS
CN 3-Morpholinone, 6-hydroxy-2-(2-methylpropyl)-5-(phenylmethyl)-, (2S,5R)(9C1) (CA INDEX.NAME)

Absolute stereochemistry.

RN 611209-76-8 CAPLUS
CN 3-Morpholinone, 6-hydroxy-2-(1-methylethyl)-5-(2-phenylethyl)-, (2s,55)(9C1) (CA INDEX NAME)

Absolute stereochemistry.

RN 611209-77-9 CAPLUS CN 3-Morpholinone, 6-hydroxy-2,5-bis(phenylmethyl)-, (25,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 611209-81-5 CAPLUS
CN 3-Morpholinone, 5-{[4-(cyclohexylmethoxy)phenyl]methyl]-6-hydroxy-2-(2-methylpropyl)-, (2s,5s)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: .

THERE ARE 20 CITED REFERENCES AVAILABLE FOR RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 5 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
140:94174
Reaction of Chloroacetone with Cytisine and
d-Pseudoephedrine Alkaloids
Nurkenov, O. A.; Gazaliev, A. H.; Turdybekov, K. M.;
Bukeeva, A. B.; Kulakov, I. V.
Institute of Organic Synthesis and Coal Chemistry,
Ministry of Education and Science of Kazakhstan,
Karaganda, Kazakhstan
Russian Journal of General Chemistry (Translation of
Zhurnal Obshchet Khimii) (2003), 73(6), 961-963
COEN: RJGCEK; ISSN: 1070-3632
PUBLISHER:
DOCUMENT TYPE:
JOURNET TYPE:
JOURNET SOURCE(S):
ARJIK Nauka/Interperiodica Publishing
JOURNED THE SOURCE (S):
ARJIK NAUKA/INTERPER (

aminoacetone and of the reaction with depseudoephedrine, a morpholine derivative 643001-06-3P RL: SPN (Synthetic preparation); PREP (Preparation) (reaction of chloroacetone with cytisine and depseudoephedrine alkaloids) 643001-06-3 CAPLUS 2,6-Morpholinediol, 2,4,5-trimethyl-, (55,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 6 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) activity and are useful for the treatment and prevention of calpain-related diseases such as ischemia, immune diseases, Alzheimer's disease, osteoporosis, diseases caused by brain tissue disorders, cataract, glaucoma, retinochoroidal disease, posterior eye complex caused by photocoagulation, and diseases accompanied by neovascularization. Thus, (18)-1-(2-dioxolanyl)-2-phenylethylamine 15, L-leucic acid 10, 1-hydroxybenzotriazole 12, and Et3N 8.6 g were dissolve din 120 mL DMF, treated with a suspension of 16 g 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in 40 mL CH2C12 under ice-cooling, and stirred at room temp. for 18 h to give, after workup and crystn. from EtGAc, 75% (2S)-N-(1S)-1-(2-dioxolanyl)-2-phenylethyl)-2-hydroxy-4-methylpentanamide (II). To a soln. of 2.0 g II in 150 mL THF was added 150 mL aq. HCl and stirred at room temp. for 18 h followed by workup and purifn. using HPLC (TMC-Pack ODS-A column) to give 29% (2S, 5S)-5-benzyl-6-hydroxy-2-(2-methylpropyl)-3-morpholinone (III). III and (2S, 5S)-5-(4-biphenylmethyl)-6-hydroxy-2-(2-methylpropyl)-3-morpholinone showed ICSO of 0.70 and 0.25 µM against µ-calpain, resp., and 0.93 and 0.36 µM against m-calpain, resp. Pharmaceutical formulations, e.g. an injection soln. contg. III, were described. 611209-1-19-9 611209-75-7P 611209-73-5P
611209-80-4P 611209-75-P 611209-75-9P
611209-80-4P 611209-81-5P 611209-82-6P
611209-81-7P 611209-81-5P 611209-95-9P
611209-86-0P
RL: PRC (Pharmacological activity); SPN (Synthetic preparation); USES (Uses)
(preparation of 6-hydroxy-3-morpholinone derivs. as calpain

(preparation of 6-hydroxy-3-morpholinone derivs. as calpain inhibitors for

treatment or prevention of calpain-related diseases)
611209-71-3 CAPLUS
3-Morpholinone, 6-hydroxy-2-(2-methylpropyl)-5-(phenylmethyl)-, (28,58)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

611209-72-4 CAPLUS 3-Morpholinone, 6-hydroxy-2-(2-methylpropyl)-5-(2-phenylethyl)-, (2S,5S)-(9C1) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:796676 CAPLUS
DOCUMENT NUMBER: 139:307776
TITLE: Preparation of 6-hydroxy-3-morpholinone derivatives

calpain inhibitors
Nakamura, Masayuki; Inoue, Jun
Senju Pharmaceutical Co., Ltd., Japan
PCT Int. Appl., 74 pp.
CODEN: PIXXD2
Patent
Japanese
2 INVENTOR (S): PATENT ASSIGNEE (5): SOURCE:

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.					KIND DATE			APPLICATION NO.							DATE				
	WO 2003082837				A1 20031009			,	WO 2	003-		2	0030	327					
		₩:	AE.	AG.	AL.	AM.	AT.	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
			CO.	CR.	CII.	CZ.	DE.	DK.	DM,	DZ.	EC.	EE,	ES.	FI.	GB,	GD,	GE,	GH,	
			GM,	up.	uii.	TD.	TI.	IN.	IS,	JP.	KE.	KG.	KR.	KZ.	LC.	LK.	LR.	LS.	
			LT.	7.11	TV.	MA	MD.	NG.	MK,	MN.	MW.	MX.	MZ.	NI.	NO.	NZ.	OM.	PH.	
			51,	De.	E0,	DII		SD.	SE,	SG.	SK.	St.	T.I.	TM.	TN	TR.	TT.	TZ.	
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			UA,	UG,	us,	02,	vc,	VIV,	SD,	٠,	er,	m 7	110	274	714		2.7	D.	
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	5D,	SL,	34,	12,	06,	ωn,	ZW,	AIT,	AZ,	DI,	
			KG,	ΚZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CI,	CZ,	DE,	DK,	EE,	ES,	
			FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,	
			BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	G₩,	ML,	MR,	ΝE,	SN,	TD,	TG	
	ΑU	2003	2361	80		A1		2003	1013		AU 2	003-	2361	80		2	0030	327	
	EP	1491	537			A1		2004	1229		EP 2	003-	7454	32		2	0030	327	
		R:	AT.	BE.	CH.	DE.	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
			IE.	SI.	LT.	LV.	FI.	RO.	MK,	CY.	AL.	TR,	BG,	CZ,	EE,	HU,	SK		
	ЦS	2005	1767	04	,	A1		2005	0811	- 1	US 2	003-	5092	53		2	0030	327,	
	CN	1656	084			Δ.		2005	0817		CN 2	003-	8122	70		2	0030	327	
TO		APP:									JP 2	002-	9718	6		A 2	0020	329	
		. AFF												-		_			
											JP 2	002-	9717	6		A 2	0020	329	

WO 2003-JP3910

W 20030327

OTHER SOURCE(S):

MARPAT 139:307776

Compds. represented by the following general formula (I) (wherein R1 and R2 each represents optionally substituted lower alkyl) or salts thereof are prepared The compds. I or salts thereof have potent Calpain inhibitory

ANSWER 6 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

611209-73-5 CAPLUS 3-Morpholinone, 6-hydroxy-2-(2-methylpropyl)-5-(2-naphthalenylmethyl)-, (25,55)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

611209-74-6 CAPLUS
3-Morpholinone, 6-hydroxy-2-(2-methylpropyl)-5-(phenylmethyl)-, (2S,5R)-(9CI) (CA INDEX NAME)

611209-75-7 CAPLUS
3-Morpholinone, 6-hydroxy-2-(1-methylethyl)-5-(phenylmethyl)-, (23,58)-(9C1) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 611209-76-8 CAPLUS
CN 3-Morpholinone, 6-hydroxy-2-(1-methylethyl)-5-(2-phenylethyl)-, (2S,5S)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 611209-77-9 CAPLUS
CN 3-Morpholinone, 6-hydroxy-2,5-bis(phenylmethyl)-, (2S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 611209-78-0 CAPLUS CN 3-Morpholinone, 6-hydroxy-5-[(4-hydroxyphenyl)methyl]-2-(2-methylpropyl)-, [23,55]- [9Cl] (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 611209-82-6 CAPLUS
CN 3-Morpholinone, 5-[(2-fluorophenyl)methyl]-6-hydroxy-2-(2-methylpropyl)-,
(25,55)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

RN 611209-83-7 CAPLUS
CN 3-Morpholinone, 5-[(2-chlorophenyl)methyl]-6-hydroxy-2-(2-methylpropyl)-,
(28,58)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 611209-84-8 CAPLUS
CN 3-Morpholinone, 6-hydroxy-2-(2-methylpropyl)-5-[(phenylmethoxy)methyl]-,
(2s,5s)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued

RN 611209-79-1 CAPLUS CN 3-Morpholinone, 6-hydroxy-5-[(4-methoxyphenyl)methyl]-2-(2-methylpropyl)-, [23,55)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 611209-80-4 CAPLUS
CN 3-Morpholinone, 5-[(4-butoxyphenyl)methyl]-6-hydroxy-2-(2-methylpropyl)-,
(25,58)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

RN 611209-81-5 CAPLUS
CN 3-Morpholinone, 5-[{4-(cyclohexylmethoxy)phenyl}methyl]-6-hydroxy-2-(2-methylpropyl)-, (2s,55)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 611209-85-9 CAPLUS
CN 3-Morpholinone, 5-([1,1'-biphenyl]-4-ylmethyl)-6-hydroxy-2-(2-methylpropyl)-, (2S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 611209-86-0 CAPLUS
CN Benzamide, N-[4-[(35,65)-2-hydroxy-6-(2-methylpropyl)-5-oxo-3-morpholinyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: THIS 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:107383 CAPLUS
DOCUMENT NUMBER: 139:117664
A 2,3-butanedione protected chiral glycine equivalent
- a new building block for the stereoselective synthesis of enantiopure N-protected α-amino acids
Dixon, Darren J.; Harding, Christopher I.; Ley,

AUTHOR(S): Steven

CORPORATE SOURCE:

V.; Tilbrook, D. Matthew G.
Department of Chemistry, University of Cambridge,
Cambridge, CB2 1EW, UK
Chemical Communications (Cambridge, United Kingdom)
(2003), (4), 468-469
CODEN: CHCOFS; ISSN: 1359-7345
Royal Society of Chemistry
Journal
English

PUBLISHER: DOCUMENT TYPE: LANGUAGE:

SOURCE:

English CASREACT 139:117664 OTHER SOURCE(S):

A new chiral glycine equivalent I (Z = benzyloxycarbonyl) has been

hesized from glycidol using a chiral memory protocol and its use in the synthesis of N-2 protected α-amino acids was demonstrated in a series of diastereoselective lithium enolate alkylation reactions and subsequent acid hydrolyzes.

565234-15-3P 565234-16-4P 565234-17-5P
565234-19-7P 565234-20-0P 565234-26-6P
565234-27-7P

BB3234-27-78
RL: RCT (Reactant): SPN (Synthetic preparation); PREP (Preparation); RACT

RL: RCT (Reactant); SPN (Synthetic preparation); PAGE (Preparation); RACT (Reactant or reagent) (butanedione-protected chiral glycine equivalent as building block for atteroscalective synthesis of N-protected α-amino acids) 565234-15-3 CAPLUS 4-Morpholinecarboxylic acid, 6-(bromomethyl)-2,3-dimethoxy-2,3-dimethyl-, phenylmethyl ester, (2S,3R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

ANSWER 7 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

565234-26-6 CAPLUS
4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3,5-trimethyl-6-oxo-5(phenylmethyl)-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

DBD234-2/- CAPMS
4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3,5-trimethyl-6-oxo-5(phenylmethyl)-, phenylmethyl ester, (2S,3R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

S65234-18-6P 565234-21-1P 365234-22-2P 565234-23-3P 565234-24-4P 565234-25-5P RL: SPN (3ynthetic preparation); PREP (Preparation) (butanedione-protected chiral glycine equivalent as building block for atterosclective synthesis of N-protected d-amino acids) 565234-18-6 CAPLUS 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-oxo-5-(2-propenyl)-, phenylmethyl ester, (29,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L6 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

565234-16-4 CAPLUS

4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-methylene-, phenylmethyl ester, (25,3R)- (9CI) (CA INDEX NAME)

(Continued)

Absolute stereochemistry. Rotation (+).

565234-17-5 CAPLUS 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-oxo-, phenylmethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

565234-19-7 CAPLUS
4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3,5-trimethyl-6-oxo-,
phenylmethyl ester, (28,3R,5R)- (9CI) (CA INDEX NAME)

olute stereochemistry. Rotation (+).

565234-20-0 CAPLUS 4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-oxo-5-(phenylmethyl)-, phenylmethyl ester, (25,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

ANSWER 7 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

565234-21-1 CAPLUS
4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-6-oxo-5-(2-propynyl)-, phenylmethyl ester, (2s,3R,5R)- (9C1) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

565234-22-2 CAPLUS
4-Morpholinecarboxylic acid, 5-ethyl-2,3-dimethoxy-2,3-dimethyl-6-oxo-, phenylmethyl ester, (2S,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

565234-23-3 CAPLUS
4-Morpholinecarboxylic acid, 5-(3-furanylmethyl)-2,3-dimethoxy-2,3-dimethyl-6-oxo-, phenylmethyl ester, (25,38,58)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

ANSWER 7 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

565234-24-4 CAPLUS
4-Morpholinecarboxylic acid, 2,3-dimethoxy-2,3-dimethyl-5-(2-naphthalenylimethyl)-6-oxo-, phenylmethyl ester, (25,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

3-Morpholineacetic acid, 5,6-dimethoxy-5,6-dimethyl-2-oxo-4-[phenylmethoxy|carbonyl]-, 1,1-dimethylethyl ester, (3R,5R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

35

REFERENCE COUNT:

THERE ARE 35 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 8 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) COCF2CONR52, COCONR5R6, COCO2R5, COCH2OR5, COCH2OR5, COCH2OR6502R5, or COCOR5;

R5 is H or (un)substituted alkyl; R6 is H, OH or NR5R6 is a ring; R7 is

alkyl and R8 is OH or CR7R8 are oxo; R16 is H, X4, CF3, NR6OR6, etc.; X4 comprises a heteromono- or -bicyclic ring; R1 = H, alkyl; R2 = H, cyano; R2 = H, cyano, -X5-NR122, -X5-NR12COR12, etc., where X5 is a bond or alkylene and R12 is H, alkyl, or haloalkyl; or CR1R2 may form a ring; R4

alkylene and R12 is H, alkyl, or haloalkyl; or CRIR2 may form a ring; R4

alkylene-NR122, alkylene-NR12-COR12, etc.; X6 = -X5-NR122, -X5-NR12COR12, etc.; R15 = H, alkyl; R17, R18 = (un) substituted alkyl (with provisos) and their pharmaceutically acceptable salts and N-oxides as selective cathepsin S inhibitors for use as therapeutic agents. Thus, ester I was prepd. via amide coupling reaction and showed Ki .ltorsim. 0.01 µM for inhibition of cathepsin S.

IT 477938-64-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amide compds. and compns. as selective cathepsin S inhibitors)

RN 477938-64-0 CAPLUS

RN 477938-64-0 CAPLUS

CN 3-McDrabelinone,
2-{([[2-(difluoromethoxy]phenyl]methyl]sulfonyl]methyl]-6-ethoxy-5-ethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

L6 ANSWER 8 OF 35
ACCESSION NUMBER:
DOCUMENT NUMBER:
138:24946
Preparation of amide compounds and compositions as selective cathepsin 5 inhibitors
Graupe, Michael; Li, Jiayor, Link, John O.; Zipfel,
Sheila: Timm, Andreas P.: Aldous, David J.;
Thurairatnam, Sukanthini
Axys Pharmaceuticals, Inc., USA; Aventis
Pharmaceuticals Inc.
PCT Int. Appl., 196 pp.
CODEN: PIXXD2
Patent

Patent English DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE	
						-									-		
							WO 2002-US17411						20020603				
WO	2002	0988	50		A3		2003	0424									
•	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		co,	CR.	cu.	cz.	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GΕ,	GH,
		GM.	HR.	HU.	ID.	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,
		LS.	LT.	LU.	LV.	MA.	MD.	MG,	MK.	MN.	MW.	MX,	MZ,	NO,	NZ.	OM,	PH,
								SG,									
								ZA,									
	RW .							SD,			TZ.	UG.	ZM.	ZW.	AM.	AZ.	BY.
	•••••	KG.	к2.	MTD.	RU.	T.I.	TM.	AT,	BE.	CH.	CY.	DE.	DK.	ES.	FI.	FR.	GB.
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	1397	240			~~		2002	0217			002-	7346	40		2	0020	603
EP	1397	340	D.P.	CH	DE.	DIF	2004	FR,	CD	CD 4	TT	7.7	1.11	NT.	SE	MC	PT.
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		IE,	51,	LT,	ĽV,	rı,	RO,	MK, 0714	CI,	<b>МЬ,</b>	002		E 7		,	0020	603
CN	1512	983			Α.		2004	0/14		UN Z	002-	0111	32			0020	603
BR	2002	0109	12		A_		2004	0831		BK 2	002-	1091				0020	603
JP	2004	5354	22		T2		2004	1125		JP 2	003~	5018	40		- 2	0020	603
Z.A	2003	0083	92		А		2005	0128		ZA 2	003-	8392			2	0031	
	2004				A1		2004	0722	1	US 2	003∽	7190	В0		2	0031	
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											002-		411		ພ າ	0020	603
										WU 2	002-	031/	411			0020	003

OTHER SOURCE(S):

MARPAT 138:24946

SO2CH2Ph

The invention relates to compds. R3C(X2)(X7)CO-X1  $\{X1 = NHC\{R1\}(R2)X3 \text{ or } NHX4; X2 = H, F, OH, OR4, NHR15, or NR17R18; X7 = H or X2 = X7 = F; R3 = alkyl or CR62X6; X3 = cyano, CR7R8R16, CR6(OR6)2, CH2COR16, CH:CHSO2R5,$ AB

L6 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2001:830002 CAPLUS DOCUMENT NUMBER: 136:232254

TITLE:

A new route to butane-1,2-diacetals and the development of alternative substitution patterns to facilitate differential protection of the products Ley, Steven V.; Michel, Patrick Department of Chemistry, University of Cambridge, Cambridge, CB2 LEW, UK Synlett (2001), (11), 1793-1795 CODEN: SYNLES; ISSN: 0936-5214 Georg Thieme Verlag Journal English

AUTHOR(S): CORPORATE SOURCE:

SOURCE .

PUBLISHER: DOCUMENT TYPE: LANGUAGE:

OTHER SOURCE(S): AB The utility

MENT TYPE: Journal UAGE: English R SOURCE(S): CASREACT 136:232254

The utility of 2,3-dialkoxybuta-1,3-dienes as reagents for the protection of vicinal diols and on-hydroxy acids as their corresponding 1,2-diacetals is demonstrated together with their later deprotection

mild reaction conditions.

403670-53-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(new route to butane-1,2-diacetals and development of alternative substitution patterns to facilitate differential protection of products)

403670-53-1 CAPLUS
3-Morpholinone, 5,6-dimethoxy-2,5,6-trimethyl-, (2S,5S,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 16 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L6 ANSWER 10 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
1998:289927 CAPLUS
128:294416
TITLE:
Trifluoropyruvamides from isocyanides and
trifluoropyruvamides from isocyanides, e.g.
20EN: TETRAB; ISSN: 0040-4020
Elsevier Science Ltd.
JOURNAT TYPE:
JOURNAT TYPE:
JOURNAT TYPE:
ASSRACT 128:294416
AB Addition of trifluoropactic anhydride to isocyanides, e.g.
4-C1C6H4CH2N=CC:
proceeds amouthly to give trifluoropyruvamides such as
4-C1C6H4CH2NECC(OH)2CF3 in high yield after treatment with H2O or alcs.
T206057-19-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of trifluoropyruvamides by addition of trifluoroacetic
anhydride
to isocyanides)

(preparation of trifluoropyruvamides by addition of trifluoroacetic anhydride to isocyanides)
RN 206057-79-6 CAPLUS
CN 3-Morpholinone, 5-ethyl-2-hydroxy-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 21 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 11 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 35
ACCESSION NUMBER:
DOCUMENT NUMBER:
1996:705638 CAPLUS
1717LE:
126:31500
An Improved Method for Separating Paclitaxel and Cephalomannine Using Ozone and Girard Reagents
Beckvermit, Jeff T.; Anzlano, Dominick J.; Murray,
Christopher K.
Synthetic Chemistry Research and Development Group,
Hauser Chemical Research Inc., Boulder, CO, 80301,

Journal of Organic Chemistry (1996), 61(25), SOURCE: 9038-9040

CODEN: JOCEAH; ISSN: 0022-3263 American Chemical Society PUBLISHER:

DOCUMENT TYPE: Journal English LANGUAGE:

The bulk drug, pacificaxel, a potent antitumor agent, is isolated from the bark of the pacific yew tree, Taxus brevifolia. Another naturally occurring taxane, cephalomannine, is difficult to sep. from paclitaxel

to structural similarities. However, cephalomannine can be selectively oxidized in the presence of paclitaxel using ozone. Subsequently, the oxidized cephalomannine can be separated from paclitaxel by conversion

water soluble Girard hydrazone, followed by liquid/liquid extraction

All previously
described methods for separation of paclitaxel and cephalomannine, or
cephalomannine derivs., have required difficult and potentially expensive
chromatog.

chromatog. 157956-83-7P

157956-93-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(removal of cephalomannine from paclitaxel by oxidation and hydrazone formation)
157956-83-7 CAPLUS
2-Morpholinecarboxylic acid, 6-hydroxy-6-methyl-5-oxo-3-phenyl-, 6,12b-bis (acetyloxy)-12-(benzoyloxy)-2a, 3, 4, 4a, 5, 6, 9, 10, 11, 12, 12a, 12b-dodecahydro-4,11-dihydroxy-4a, 8, 13, 13-ternamethyl-5-oxo-7,11-methano-1H-cyclodeca(3,4)benz(1,2-b)oxet-9-yl ester, [2ar, 4,4a,6,6,9a(12x,3s\*),1n, 12a, 12a, 12aa, 12ba]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR

L6 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:678672 CAPLUS
DOCUMENT NUMBER: 126:4338
Secondary mold metabolites. Part 52. Structure elucidation of diatretol. A new diketopiperazine metabolite from the fungus Clitocybe diatreta
AUTHOR(S): Arnone, Alberto: Capelli, Silvia: Nasini, Gianluca; Valdo Meille, Stefano; Vajna De Pava, Orso
CORPORATE SOURCE: Centro C.N.R. Sostanze Organiche Naturali,

CORPORATE SOURCE: Politecnico

Milano, Milan, I-20131, Italy Liebigs Annalen (1996), (11), 1875-1877 CODEN: LANAEM; ISSN: 0947-3440 SOURCE:

PUBLISHER: VCH Journal

DOCUMENT TYPE: LANGUAGE: English

In the culture broth of C. diatreta, a novel diketopiperazine metabolite, diatretol (I), was detected by chemical screening. The structure was established on the basis of IH- and I3C-NRR data and single crystal x-ray anal. I exhibits a low antibacterial activity and inhibits the growth germination of Lepidium sativum and Bacillus. I was also isolated from Armillaria ectypa.
145398-57-8, Metacytofilin RL: PRP (Properties) (mol. dimensions of)
145398-57-8 CAPLUS
2,3-Morpholinedione, 3-hydroxy-6-(methylamino)-6-(2-methylpropyl)-3-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME) IT

L6 ANSWER 13 OF 35 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
11994:595909 CAPLUS
121:195909
OXIdation products of cephalomannine
Murray, Christopher K.; Beckvermit, Jeffrey T.;
Ziebatch, Timothy D.
PATENT ASSIGNEE(S):
SOURCE:
U.S., 12 pp.
CODEN: USXXAM
LANGUAGE:
ENGISE ENGISE
ENGISE ENGISE
FAMILY ACC. NUM. COUNT:

DOCUMENT TYPE:
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EN DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. DATE APPLICATION NO. DATE KIND US 5336684
CA 2161138
CA 2161138
CA 2161138
W: AU, CA, JP
RW: AU, CA, JP
AU 9467735
AU 685119
EP 656279
EP 656279
R: DE, FR, GB 19940809 19941110 20060725 19941110 US 1993-53902 CA 1994-2161138 19930426 19940425 Al WO 1994-U64519 19940425 GB, GR, IE, IT, LU, MC, NL, PT, ŠE AU 1994-67735 19940425 DE, DK, ES, FR, A1 19941121 B2 19980115 A1 19960214 B1 19970326

19961015 20060329

EP 1994-915879

JP 1994-524451

US 1993-53902 WO 1994-US4519 19940425

19940425 A 19930426

19940425

GI

R: DE, FR, GB JP 08509733 JP 3759602 PRIORITY APPLN. INFO.:

Antineoplastic taxol derivs. are derived by selective oxidation of the

portion of the side chain of cephalomannine (I). The derivs. display high

activity in promoting assembly of microtubulin and also displays activity as processing additional activity against malignant cells.

IT 157956-83-7P
RL: BAC (Biological activity or effector, except adverse); BSU

logical
study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL
(Biological study); PREP (Preparation); RACT (Reactant or reagent)
(antineoplastic cephalomannine oxidation products)

L6 ANSWER 14 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:189882 CAPLUS
DOCUMENT NUMBER: 120:189882
TITLE: Novel immunosuppressing metacytofilin and its
manufacture with Metachizum species
INVENTOR(S): Ishizuka, Masaaki; Iijima, Masatomi; Osanawa,

INVENTOR(S): Hiroshi;

Okami, Yoshiro; Maeda, Kenji; Takeuchi, Tomio Microbial Chemistry Research Foundation, Japan Jpn. Kokai Tokkyo Koho, 9 pp. CODEN: JKXXAF PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE JP 05310717 PRIORITY APPLN. INFO.: 19931122 19911102 A2 JP 1991-313041 JP 1991-313041 19911102

GI

Immunosuppressing metacytofilin (I) is manufactured by cultivation of I-producing Metarhizium sp. Metarhizium sp. TA2759 (FERM P-12579) was shake-cultured in 10 L medium containing glucose, soluble starch, yeast

shake-cultured in 10 L medium containing glucose, soluble starch, y, etc., at 27° for 4 days to manufacture 40 mg I, which at 100 µg/mL inhibited 56% interleukin 2-induced growth of Con A-treated T cell. 145398-57-8P, Metacytofilin RI: BMF (Rioindustrial manufacture); BIOL (Biological study); PREP (Preparation) (manufacture of, with Metarhizium, as immunosuppressant) 145398-57-8 CAPJUS

2,5-Morpholinedione, 3-hydroxy-6-(methylamino)-6-(2-methylpropyl)-3-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

ANSWER 13 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN 157956-83-7 CAPLUS 13/956-83-7 CAPLUS
2-Morpholinecarboxylic acid, 6-hydroxy-6-methyl-5-oxo-3-phenyl-,
6,12b-bis (acetyloxy) -12-(benzoyloxy) -2a, 3, 4, 4a, 5, 6, 9, 10, 11, 12, 12a, 12bdodecahydro-4, 11-dihydroxy-4a, 8, 13, 13-tetramethyl-5-oxo-7, 11-methano-1Hcyclodeca[3, 4]benz[1, 2-b] oxet-9-yl ester, [2aR[2aa, 48, 4a, 68, 96, 12R-, 35\*], 11a, 12a,
12aa, 18, 2ab, 68, 96, 2R\*, 35\*], 11a, 12a,
12aa, 12ba]}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 15 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1993:55707 CAPLUS

TITLE: 18:55707 Metacytofilin, a novel immunomodulator produced by Metacytofilin, a novel immunomodulator produced by Metacytofilin, and Novel immunomodul

DOCUMENT TYPE: LANGUAGE: GI

ΑВ The production, isolation, physicochem. properties, structure and biol. activity of metacytofilin (I) are reported. The absolute configuration

was not determined Crystal data for I are given. I exhibited immunosuppressive activity in a mixed lymphocyte culture reaction and inhibited antibody formation in spleen cells. 145398-57-8P, Metacytofilin RL: PREP (Preparation) (structure and isolation and immunosuppressant activity of, from Metarhizium) 145398-57-8 CAPLUS 2,5-Morpholinedione, 3-hydroxy-6-(methylamino)-6-(2-methylpropyl)-3-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L6 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1988:528924 CAPLUS
DOCUMENT NUMBER: 109:128924
Synthesis, spatial structure, and biological activity of 2-hydroxy-3-oxo-2,5,5-trimethylmorpholine
AUTHOR(S): Krutius, O.; Eremeev, A. V.; Mishnev, A. F.;
Bleidelis, J.; Belyakov, S. V.; Odinets, A. G.;
Berzins, M.; Berzins, D.; Kimenis, A.
CORPORATE SOURCE: Latvijas PSR Zinatnu Akademijas Vestis, Kimijas
Serija

SOURCE: Serija

(1987), (6), 745-50 CODEN: LZAKAM; ISSN: 0002-3248 Journal Russian

DOCUMENT TYPE: LANGUAGE: GI

Reaction of Me 2,3-dibromopropionate with 2-amino-2-methyl-1-propanol gave

azaoxabicycloheptanone I and morpholinone II. The structure of II was determined by x-ray crystal anal. II has hepatoprotector and antitumor activity. 53153-49-4
Ri: RCT (Reactant); RACT (Reactant or reagent)
(preparation crystal structure, and antitumor and hepatoprotector vity)

activity

nty of) 53153-49-4 CAPLUS 3-Morpholinone, 2-hydroxy-2,5,5-trimethyl- (9CI) (CA INDEX NAME)

ANSWER 17 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

83485-89-6 CAPLUS

3-Morpholinecarboxylic acid, 2,3-diethoxy-2-methyl-5-oxo-, ethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:582321 CAPLUS
DOCUMENT NUMBER: 97:182321
TITLE: Studies on the chemistry of 1,4-oxazines. VIII.
Studies on the reactivity of ethyl
5,6-dihydro-2-methyl-5-oxo-4H-1,4-oxazine-3-

5,6-dihydro-Z-methyl-5-0x0-4M-1,4-0xazine-3-carboxylate
Bartsch, Herbert; Haubold, Gerhard
Inst. Pharm. Chem., Univ. Wien, Vienna, A-1090,
Austria
Archiv der Pharmazie (Weinheim, Germany) (1982),
315(9), 761-6
CODEN: ARPMAS; ISSN: 0365-6233 AUTHOR(S): CORPORATE SOURCE:

SOURCE:

Journal German CASREACT 97:182321 DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI

The reactions of the title compound (I) were studied. Bromination of I AB with

NBS did not give the allyl bromide II but gave instead III (R1 = Br), characterized as the dialkoxy products III (R1 = MeO, Eto). Reduction

with H2-Pd/C gave III (R1 = H); LiAlH4 reduction gave IV. Of several CH-acidic compds., only V (Z=0, S) condensed with I to give VI (R2R2 = bond). The structure of VI (R2R2 = bond, Z=0) was established by hydrogenation to VI (R2 = H, Z=0). 83485-88-5P 83485-89-6P

IT

83483-88-97 9483-89-07 REL SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
83483-88-5 CAPLUS
3-Morpholinecarboxylic acid, 2,3-dimethoxy-2-methyl-5-oxo-, ethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 18 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
1976:180142 CAPLUS
1976:180142 CAPLUS
1976:180142 CYLIZATION FACTOR OF CAPHYDROXY-IMIDATES WITH
OXALYL CHIOTIGE and NN'-dicyclohexylcarbodi-imide
BULL Mohammed I.; Neilson, Douglas G.; Watson,
Kathleen: Hull, Roy
Dep. Chem., Univ. Dundee, Dundee, UK
JOURNAL OF Chem. 1014. Dundee, Dundee, UK
JOURNAL OF CHEM. 1014. DUNCE CHEMISTRY (1972-1999)
(1976), (5), 352-5
CODEN: JCFRB4; ISSN: 0300-922X
JOURNAL OF CHEMISTRY (1972-1999)

DOCUMENT TYPE: LANGUAGE: GI Journal English

RCH2CMe(OH)C(:NH)OEt.HCl (I.HCl; R = 4-Mec6H4O, 3-Mec6H4O, Me) with (COCl)2 in CCl4 gave the morpholine triones II, whereas I with base and (COCl)2 gave mainly the oxazolidinones III (X = 0) and small amts. of II. IHCl (R = 4-, 3-Mec6H4O) reacted with RIN:C:NRI (R = expansione = 0) in the presence of CuCl2 to give a mixture of N,N'-dicyclohexylurea, cyclohexylamine hydrochloride, and the oxazolidine imines III (X = NRI); the free bases did not react under similar conditions. Mechanisms for

the

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reactions are proposed.
59375-88-1P 59375-89-2P 59375-90-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
59375-88-1 CAPJUS
2,3,5-Morpholinetrione, 6-methyl-6-[(4-methylphenoxy)methyl]- (9CI) (CA INDEX NAME)

59375-89-2 CAPLUS
2,3,5-Morpholinetrione, 6-methyl-6-[(3-methylphenoxy)methyl]- (9CI) (CAINDEX NAME)

ANSWER 18 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

59375-90-5 CAPLUS 2,3,5-Morpholinetrione, 6-ethyl-6-methyl- (9CI) (CA INDEX NAME)

ANSWER 20 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN SSION NUMBER: 1975:531511 CAPLUS

ACCESSION NUMBER:

DOCUMENT NUMBER: TITLE:

AUTHOR (S):

CORPORATE SOURCE: SOURCE:

1975:531511 CAPLUS 83:131511 Adducts from acyl chlorides and 2-unsubstituted oxazolines. Formation and reactions Golding, Bernard T.; Hall, David R. Dep. Mol. Sci., University of Warwick, Coventry, UK Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1975), (13), 1302-8 CODEN: JCPRB4; ISSN: 0300-922X JOURNAL

DOCUMENT TYPE:

English CASREACT 83:131511 OTHER SOURCE(S):

R SOURCE(S): CASREACT 83:131511
For diagram(s), see printed CA Issue.
Acyl chlorides reacted with I (R = Me, R1 = H, R2 = CO2Et; R = H, R1 = R2 = Me) to give 1:1 adducts which then underwent reaction with bases or nucleophiles. Thus the adduct from I (R = H, R1 = R2 = Me) and R3CH2COCl' (R3 = phthalimido) (II) reacted with anhydrous Et3N to give the

(R3 = phthalimido) (II) reacted with anhydrous Et3N to give the esponding adducts III and IV; the adduct from I (R = Me, R1 = H, R2 = CO2Et) and II reacted with wet Et3N to give the corresponding products R3CH2CONHCH(CO2Et)c(OR4)Me2 (R4 = H, CH0) and with MeOH-Et3N to give IV. 53153-50-7P 57624-84-7P RE. SPN (Synthetic preparation); PREP (Preparation) (preparation of) 53153-50-7 CAPLUS 3-Morpholinone, 2-hydroxy-5,5-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)

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57624-84-7 CAPLUS 1M-Isoindole-1,3(2H)-dione, 2-[(2-hydroxy-5,5-dimethyl-3-oxo-2-morpholinyl)methyl]- (9CI) (CA INDEX NAME)

ACCESSION NUMBER:

ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE:

1976:144577 CAPLUS

84:144577

Synthesis and biological evaluation of substituted 2,21-oxybis(propionic acid) derivatives and related compounds

AUTHOR(S):

Bennett, Gregory B.; Houlihan, William J.; Mason, Robert B.; Engstrom, Robert G.

CORPORATE SOURCE:

CORPORATE SOUR

(Biological logical study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and hypolipidemic activity of) 58607-31-1 CAPLUS 3,5-Morpholinedione, 2,2-dimethyl-6,6-diphenyl- (9CI) (CA INDEX NAME)

82:97361
Photochemical reactivity of imino lactones.
Photoreduction and photoelimination
Koch, Tad H.; Olesen, John A.; DenNiro, James
Dep. Chem., Univ. Colorado, Boulder, CO, USA
Journal of Organic Chemistry (1975), 40(1), 14-19
CODEN: JOCEAH; ISSN: 0022-3263
Journal
English AUTHOR(S): CORPORATE SOURCE: SOURCE:

DOCUMENT TYPE: LANGUAGE:

MENT TYPE: Journal UMGE: English English For diagram(s), see printed CA Issue.

For diagram(s), see printed CA Issue.

The photochem. reactivity of 3 imino lactones (I; R = Me, Ph, Bu) is described. I (R = Me, Ph) are photostable with respect to the [2+2] photocycloaddn. reaction to the C-N double bond. I (R = Me) undergoes photoreductive dimerization in 2-propanol, I (R = Bu) photoeliminates propene to give I (R = Me), and I (R = Ph) is photostable. Possible mechanisms for the reductive dimerization and elimination reactions are discussed.

discussed. 53153-49-4P 53153-50-7P

SILS-19-47 Sils-19-77
RE: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
S3153-49-47
S153-19-47
S153-19

53153-50-7 CAPLUS
3-Morpholinone, 2-hydroxy-5,5-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)

Ether derivatives of carbamoyl halides

L6 ANSWER 22 OF 35 CAPLUS COPYRIGHT 2006 ACS ON STN
ACCESSION NUMBER: 1567:85488 CAPLUS
DOCUMENT NUMBER: Ether derivatives of carbamoyl
INVENTOR(S): Koenig, Karl H.
Badische Anilin- 4 Soda-Fabrik
SOURCE: Ger., 3 pp. Ether derivatives of carbamoyl hal Koenig, Karl H. Badische Anllin- & Soda-Fabrik AG Ger., 3 pp. CODEN: GWXXAW Patent German

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE DATE DE 1232946 19670126 DE 1964-875782 cf. CA 58, 8916b. α-Halo-N,N-disubstituted carbamoyl halides RIRZCXM(COX)CR3R4Z (I) where R1-R4 are H, an alkyl, aryl, or a 19640307

the filtrate distilled to give 85% MeOCH2NMeCOCl, bi5 62-8°, nD 1.445. Similarly prepared are the following derivs. of I (% yield, b.p./mm.,

given): (MeOCH2)2NCOC1, 78, 98-103\*/25, 1.439; (MeOCH2)2NCOBr, 76, 116-18\*/29, 1.441; MeOCH2)MCOBr, 78, 83-6\*/19, 1.460; N-(3,5-dichloro-3,5-dimethylmorpholyl)carbamoylchloride 69, 126-9\*/19-20, -; PrCHETCH2OCH2NMeCOC1, 63, 139-42\*/1.5, 1.445. 5367-80-6p
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of) 5367-80-6 CAPLUS
4-Morpholinecarbonyl chloride, 3,5-dimethoxy-3,5-dimethyl- (7CI, 8CI)

IT

L6 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1966:507656 CAPLUS
DOCUMENT NUMBER: 65:107656
ORIGINAL REFERENCE NO.: 65:20017a-e
TITLE: New derivatives of chloramphenicol
RATEMIT ASSIGNEE(S): Gapp, Fritz; Margreiter, Hans; Schmid, Ekkehard

PATENT ASSIGNEE(S): SOURCE: 11 pp. Patent

DOCUMENT TYPE: LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE 19660825 AT 249031 AT 1964-1810 AT 19640302 PRIORITY APPLN. INFO.:

The primary OH-group of chloramphenicol reacts with isocyanatocarboxylic acid esters RICH(N:CO) (CH2) KCO2R2 (I) to give (chloramphenicolcarbamido)carboxylic acid (A) esters. Thus, 160 ml. pyridine and 129 g. Et isocyanatoacetate were added to a suspension of

g. chloramphenicol in 11. AcoEt to give a clear solution After 40 hrs.

g. chloramphenicol in 11. AcoEt to give a clear solution After 40 hrs. at room temperature the precipitate was filtered off with suction, washed with ether, and dried to give 325 g. Et (chloramphenicolcarbamido) acetate (II), m. 138-40°. Addnl. 53.3 g. II were obtained from the filtrate after extraction of the pyridine with dilute HCl and concentration of the pyridine-free solution MaOH (21, 115 ml.) was added dropwise to a suspension of 100 g. II in 300 ml. EtoH. After 10 hrs. at room temperature the clear solution was concentrated in vacuo, diluted with H2O, and acidified with diluted HCl to precipitate the acid. The precipitate was filtered off, washed with H2O and dried to give 80.7 g. (chloramphenicolcarbamido) acetic acid, m. 150-3°, Ca salt m. 160-5°, Na salt m. 120-30°, dibenzylamine salt m. 112-16°. Similarly obtained were (isocynantocarboxylic acid ester used, m.p. of the corresponding A acid ester, m.p. of the A acid, and salts given): Me L-a-isocynanto-y-methylmercaptobutyrate, 17-2.5°, 141-4°, -; Me DL-a-isocynanto-y-methylmercaptobutyrate, 113-40°, 132-6°, -; Me D-a-isocynanto-y-methylmercaptobutyrate, 114-4°, -; Me DL-a-isocynantosynotate, oil, oil, Na 145-8°; Me DL-a-isocynantoisocaproate, oil, oil, Na 145-8°; Me DL-a-isocynantosynothenylmetate, oil, oil, Na 145-8°; Me DL-a-isocynantophenylmetate, oil, oil, Na 142-4°; Et DL-a-isocynantophenylmetate, oil, oil, Na 142-4°; Et DL-a-isocynantophenylmetate, oil, oil, Na 143-8°; Me DL-a-isocynantophenylmetate, oil, oil, Na 143-8°; Me DL-a-isocynantophenylmetate, oil, oil, Na 143-8°; Me DL-a-isocynantophenylmetate, 160-2°, 205-6°, -; Me DL-a-isocynantophenylmetate, oil, oil, Na 142-4°; Et DL-a-isocynantophenylmetate, oil, oil, Na 143-8°; Me DL-a-isocynantophenylmetate, Na Menicate oil oil, Na

ACCESSION NUMBER:

DOCUMENT NUMBER: ORIGINAL REFERENCE NO.:

TITLE: PATENT ASSIGNEE(S):

ANSWER 23 OF 35 CAPLUS COPYRIGHT 2006 ACS ON STN
SSION NUMBER: 1966:507657 CAPLUS
MENT NUMBER: 65:107657
INAL REFERENCE NO.: 65:20017e-f
E: MT ASSIGNEE(S): Lonza Ltd.
CE: 12 pp.
MENT TYPE: Patent
UNGGE: Unavailable DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

KIND DATE. APPLICATION NO. PATENT NO. 19660215 19650216 19660817 NL 6601905 PRIORITY APPLN. INFO.:

For diagram(s), see printed CA Issue.
The title compds. are prepared by treatment of I or its derivs. with
mineral acids. Thus, to 10 g. I in 100 ml. MeOH and 10 g. CuSO4 was

dropwise 0.0826 mole H2SO4 and the mixture refluxed 1 hr. and distilled

steam to yield 42.6% ROMe (through the abstract R = CH2:CMeCO) and 8.7%

while 35% solid II separated in the condenser. To 10 g. II was added

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mole H2SO4 and 0.118 mole MeOH and the mixture heated 20 min. in an autoclave at 170° and refluxed 1 hr. to yield 791 ROMe and 171 ROH. To 10 g. Me2C(C.tplbond.N)OCMe2CO2H was added 0.065 mole H2SO4 and 0.118 mole MeOH and the mixture heated 20 min. at 150° to yield 37.21 ROMe and 14.51 ROH. Similar heating of O(CMe2CO2H) with H2SO4 and MeOH afforded 11.55 ROH and 11.11 ROMe. 10258-47-6, 3,5-Morpholinedione, 2,2,6,6-tetramethyl-(formation in manufacture of methacrylic acid and its Me ester) 10258-47-6 CAPUS
3.5-Morpholinedione, 2,2,6,6-tetramethyl-(ICC Medical Control Contr

IT

3,5-Morpholinedione, 2,2,6,6-tetramethyl- (7CI, 8CI) (CA INDEX NAME)

ANSWER 24 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) than that of the Na salt of chloramphenicol monosuccinate. They split up in vivo and have a depot effect compared to chloramphenicol. 10258-47-6, 3,5-Morpholinedione, 2,2,6,6-tetramethyl- (formation in manufacture of methacrylic acid and its Me ester) 10258-47-6 CAPLUS 3,5-Morpholinedione, 2,2,6,6-tetramethyl- (7CI, 8CI) (CA INDEX NAME)

IT

L6 ANSWER 25 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1966:403658 CAPLUS
DOCUMENT NUMBER: 65:3658
ORIGINAL REFERENCE NO: 65:617e-g
TITLE: a-Nitrilo-a'-carboxydiisopropyl ether and its derivatives
PATENT ASSIGNEE(S): Lonza Ltd.
SOURCE: 14 pp.
DOCUMENT TYPE: Patent
LAUNGIAGE: Unavailable

DOCUMENT TYPE:

Unavailable

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE NL 1965-7494 CH NL 6507494 PRIORITY APPLN. INFO.: 19651213 19650611 19640612

For diagram(s), see printed CA Issue.

The title compound (I) was prepared as the K or Na salt, by treating 4-nitroso-2,2,5-tetramethyl-3-oxotetrahydrofuran (II) in benzene or toluene with water in the presence of KOH or NaOH as catalyst. Further hydrolysis yields a,a'-dicarboxydiisopropyl ether (III).

Operating with lower mats. or in the absence of catalyst yields IV, which could be also esterified. Operating in pyridine, in the presence of benzenesulfonylchloride yields V. Thus, equimol. amts. II and 25% KOH were refluxed 10-15 min., cooled, shaken with Et2O, and the aqueous phase slowly mixed with an equimol. amount HCl, while cooling, and extracted

Et20. The extract was dried, and evaporated in the cold at slightly reduce

ced pressure, to give raw I (yield 81%), which was recrystd. to give I, m. 72.5° (ligroine). Further refluxing of I until NH3 formation ceased, and neutralization with I mole HCl, gave III (yield 80%), which recrystd. gave III, m. 158° (water or C6H6). II (98.8%) (0.0585 mole) in 40 g. toluene and 0.2 g. NaOH were refluxed 2 hrs., and evaporated in

vacuo to give IV (yield 48%). Recrystn. from 1% HCl, gave another 37% TV.

Benzenesulfonylchloride (0.22 mole) was added dropwise to a solution of

mole II in 100 g. pyridine at  $80^\circ$ , while stirring, to give V (yield 80.51), m.  $156^\circ$  (Me2CO). I and III are used in the production of polyesters and polyamides, and IV and V in the production of formaldehyde resins.
10258-47-6, 3,5-Morpholinedione, 2,2,6,6-tetramethyl-

ΙT

(preparation of)
10258-47-6 CAPLUS
3,5-Morpholinedione, 2,2,6,6-tetramethyl- (7CI, 8CI) (CA INDEX NAME) RN CN

ANSWER 26 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN dimethyl-

dimetnyl-(prepn. of) 5367-80-6 CAPLUS 4-Morpholinecarbonyl chloride, 3,5-dimethoxy-3,5-dimethyl- (7CI, 8CI)

L6 ANSWER 26 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 1966:11109 CAPLUS DOCUMENT NUMBER: 64:11109

ORIGINAL REFERENCE NO.: TITLE:

64:11109 64:1972g-h,1973a-c Carbamoyl chlorides Koenig, Karl H.; Pommoer, Horst Badische Anilin- & Soda-Fabrik A.-G. INVENTOR (S):

PATENT ASSIGNEE (S):

23 pp. Patent DOCUMENT TYPE: LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. APPLICATION NO. KIND DATE DATE BE 660727 FR 1432865 NL 6502858 19650906 19650305 BE 1966-727 PRIORITY APPLN. INFO.: 19640307

The  $\alpha$ -halogen atom of halocarbamoyl halides (CA 59, 11524a) reacts with alcs., mercaptans, or carboxylic acids in preference to the acyclic halogen. Thus, to 142 parts (CH2NNeCOCL), cooled at -10-0°, 144 parts 30% NaOMe MeOH solution was added. The mixture was kept 3 hrs. at 40-50° and distilled to yield 92.5% NeOOH2NMeCOCL bl5 69-73°, n25D 1.451. Similarly, the following ROCH2NMeCOCl were prepared (R,

40-50° and distilled to yield 92.5% MeOCHZNMCCOL, b15 69-73°, n25D 1.451. Similarly, the following ROCHZNMCCOL were prepared (R, n25D 1.451. Similarly, the following ROCHZNMCCOL were prepared (R, n25D and & yield given): Et, b25 93-5°, 1.447, 89; Pr. b16 98-100°, 1.445, 82; iso-Pr, b26 111-14°, 1.443, 84.5; Bu, b23 118-20°, 1.4483, --; iso-Bu, b22 114-16°, 1.4443, 84.5; Bu, b23 118-20°, 1.4483, --; iso-Bu, b22 114-16°, 1.4443, 84.5; Bu, b23 118-20°, 1.4483, --; iso-Bu, b22 114-16°, 1.4443, 84.5; Bu, b28 110-11°, 1.4480, --; CH2CCL21, b0.3 85-8°, 1.4774, --; CH2CHMCC1, b0.3 81-4°, 1.471, --; CH2CL1, b0.3 85-8°, 1.4774, --; CH2CHZOH, b0.5 65-7°, 1.4678 --; CH2CHZOH, b0.5 65-7°, 1.4678, --; CH2CHZOH, b0.5 65-7°, 1.457, --; CH2CHZOH, b0.5 65-8°, 1.470, --; CH2CHZOH, b0.3 63-4°, 1.452, --; CH2CHZOH, b0.1 65-7°, CH2CHZOH, b0.3 63-4°, 1.452, --; CH2CHZOH, b0.1 65-7°, 1.454, --; CH2CHZOH, b0.3 93-5°, 1.482, --; CH2CHZOH, b0.4 87-9°, 1.479, --; CH2CHZPH, b0.3 97-8°, 1.472, --; CH2CCL3, b0.8 98-100°, 1.496, --; Ac, b23 114-16°, 1.457; 69; COST, b1 92-4°, --, 62; COCHZC1, b0.5 88-9°, --, 74; COCHCHZ, b0.3 86-8°, --, --; COCCL3, b0.3 109-10°, --, --. Also prepared were MeOCHZNMCCOH, b19 83-6° n25D 1.460; (MeOCHZ)ZNCOCL, b25 98-103°, n25D 1.449; (MeOCHZ)ZNCOCL, b25 98-103°, n25D 1.449; (MeOCHZ)ZNCOCL, b3.5 98-101°, n20D 1.459, y1eld 721°, ACSCHZNMCCOCL, b20 106-7°; MeSCHZNMECOCL, b18 87-9°, b26 99-101°, y1eld 731°, MeCCHMCHZNMCCOCL, b18 87-9°, b26 99-101°, y1eld 731°, MeCCHMCHZNMCCOCL, b0.1 100-11°; CIZHZSSCHZNMCCOCL, b0.2 112-14°; the following carbamopyl chlorides were also prepared (substituents, and b.p. given): N-(3,5-dimethoxy-3,5-dimethylmorpholino), b0.3 94-6°; and N-(α-methoxypiperidino), b0.1 89-9°; N-(α-methylthiopiperidino), b0.1 89-9°; N-(α-methylthiopiperidino), b0.1 89-9°; N-(α-methylthiopiperidino), b0.3 86-7°. The compds. are intermediates for the preparation of plant protection agents.
5367-80-6, 4-Morpholinecarbonyl chloride, 3,5-dimethoxy-3,5-dimethoxy-3,5-dimethoxy-3,5-dimethoxy-3,5-dimethoxy-3,5-dimet

protection agents. 5367-80-6, 4-Morpholinecarbonyl chloride, 3,5-dimethoxy-3,5-

L6 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 1964:38348 CAPLUS DOCUMENT NUMBER: 60:38348 CAPLUS ACTIONAL REFERENCE NO.: 60:6732c-f G-Substituted eldehydes, XXIX.

DOCUMENT NUMBER: 60:38348

ORIGINAR REFERENCE NO.: 60:3732c-f

TITLE: a-Substituted aldehydes. XXIX. Favorskii
rearrangement with chloroisobutanal

AUTHOR(S): Kirmann, Albert: Joschek, Hans Ingo

Ecole Norm. Super., Paris

Bulletin de la Societe Chimique de France (1963),

(11), 2483-6

CODEN: BSCFRS; ISSN: 0037-8968

DOCUMENT TYPE: Unavailable

AB cf. CA 59, 15279b. The rearrangements of a-halo ketones to branched
acids by basic reactants have been studied (Tchoubar, CA 50, 92831).

Anionic migration of the functional H in halo aldehydes produces an
unbranched a-acid. The effects of the presence of alcoholates and
of NN3 on Favorskii transpositions of a-chloroisobutanal were
investigated. A suspension of alkali alcoholate acted on the
chloroisobutanal to form an isobutyric ester as well as the epoxy ether.
A suspension of NaNH2 caused the same rearrangement with the formation of
a

isobutyramide. The same metallic amide in solution in liquid NH3 led to heterocyclic compound of the morpholine type. Expts. were made on α-chloroisobutanal with NaONe and LiONe, iso-PrONa and tert-BuONA, with and without the presence of the corresponding alcohols, with NH4Cl, and with NaCl + NH3 in the presence of liquid NH3 and of ether. Products were analyzed by gas chromatography and by infrared spectrometry. The reaction of α-chloroisobutanal with Na methylate by the method of Stevens (S., et al., CA 49, 8804d, S. and Gillis, CA 51, 16477a) yielded about 20%, Me isobutyrate without alc. and only traces with alc. Ne isobutyrate, b. 93°, was obtained in 23-g. yield by treating 7 g. Li suspended in 1 l. Bu2O with 70 g. chloroisobutanal in 100 cc. Bu2O at 0° and refluxing for 3 hrs. The yield of the isopropyl ester from 1.07 moles iso-proNa and 1 mole aldehyde was about 20% without alc. and a trace with alc. In the latter case, 30 g. diisopropyl acetal of α-hydroxyisobutanal bis 76-8°, n23 1.410, was obtained. In all cases, the Favorskii rearrangement seemed to be linked to a heterogeneous reaction. It corresponded to the benilic mechanism (T., loc. cit.). Negatively charged 0 formed by nucleophilic addition at the carbonyl group of the group B (either MeO- or NH2-) as well as the neg. carbonyl group of the group B (either MeO- or NH2-) as well as the neg. carbonyl group of the group B (either MeO- or NH2-) as mell as the neg. carbonyl group of the group B (either MeO- or NH2-) as mell as the neg. carbonyl group of the group B (either MeO- or NH2-) as mell as the neg. carbonyl group of the group B (either MeO- or NH2-) as mell as the neg. carbonyl group of the group B (either MeO- or NH2-) as mell as the neg. carbonyl group of the group B (either MeO- or NH2-) as mell as the neg. carbonyl group of the group B (either MeO- or NH2-) as well as the neg. carbonyl group of the group B (either MeO- or NH2-) as well as the neg. carbonyl group of the group B (either MeO- or NH2-) as well as the neg. carbonyl group of

permits replacement of the Cl, with formation of R2CHCOB-. The same type of primary addition of the anion B at the carbonyl in a homogeneous

mm permits favorable orientation of neg. O in an antiparallel position with respect to the Cl and the isolation of an epoxide for B = MeO-. With B = NH2- a more complex evolution leads to other derivs. 91691-33-7, 2,5-Morpholinediol, 4-acetyl-3,3,6,6-tetramethyl-,

2-acetate

2-acetate (preparation of) 91691-33-7 CAPLUS 2,5-Morpholinediol, 4-acetyl-3,3,6,6-tetramethyl-, 2-acetate (7CI) (CA INDEX NAME)

L6 ANSWER 28 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

(nematocide)
91973-05-6 CAPLUS
4-Morpholineacetonitrile, 3,5-diethoxy-α,2,6-trimethyl- (7CI) (CA INDEX NAME) RN CN

ANSWER 28 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN SSION NUMBER: 1963: 477724 CAPLUS SENT NUMBER: 59:77724 INAL REFERENCE NO.: 59:14515f-h,14516a-b DOCUMENT NUMBER: ORIGINAL REFERENCE NO.: TITLE: Nematocides Langdon, William K.; Levis, William W., Jr. Wyandotte Chemicals Corp. INVENTOR(S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: 5 pp. Patent Unavailable FAMILY ACC. NUM. CO PATENT INFORMATION: COUNT: PATENT NO. DATE APPLICATION NO. KIND US 3104199 BE 627705 FR 1365965 NL 288611 19630917 us 1960-33636 19600603 PRIORITY APPLN. INFO.: US

2-Amino alkanonitriles (I), having at least 3 C atoms, were effective nematocides. These compds. can be divided into several sub-groups. The simplest members of the class of nematocidal agents are alkylsubstituted I, e.g., a-methyl-a-(methylamino)-propionitrile, which can be prepared by treating acetone cyano-hydrin with MeNH2. The second roup

19600603

is the group of N- substituted poly(cyanoalkyl) alkylene polyamines,

N,N'-bis(1-cyanoethyl) ethylenediamine, which can be prepared by treating lactonitrile with ethylenediamine. The third subgroup is N-substituted (cyanoalkyl) alkoxyalkylamines. N-(1-cyano-ethyl) ethoxyethylamine can be prepared by treating lactonitrile with ethoxyethylamine. The fourth subgroup is  $\alpha$ -substituted piperazinealkanonitriles, e.g.,  $\alpha,\alpha,\alpha',\alpha'$ -pentamethyl-1,4-piperazinediacetonitrile, which can be prepared by treating acetone cyanohydrin with 2-methylpiperazine. The fifth subgroup is  $\alpha$ -substituted morpholinealkanenitriles, e.g.,  $\alpha$ -methyl-4-morpholineacetonitrile, which can be prepared by treating lactonitrile

with
morpholine. The sixth subgroup is α-substituted aceto-nitrile
derivs. of bis(2- or 3-aminoalkyl) ethers of poly(oxyalkylene)polyols,
e.g., bis [N-(1-cyanoethyl)-3-aminopropyl) ether of polypropylene glycol
which can be prepared by treating polypropylene glycol with
acrylonitrile in
the presence of a basic catalyst to produce a bis(cyanoethyl) ether of
polypropylene glycol, catalytically hydrogenating the latter to produce a
bis(3aminopropyl) ether of the polypropylene glycol, and treating the
latter with lactonitrile to give the nematocidal agent. This compound
has

has an average mol. weight of 400. The nematocidal agents can be utilized in any conventional manner, as in soil application by spraying, drenching, or dusting. Superior results were obtained in subsoil applications when the nematocidal agents were introduced into the soil to a depth of \$6 in. These nematocidal agents can be embodied in dusts containing

in. These nematocidal agents can be embodied in dusts containing carrier or fillers, as well as in liquids, and can be applied together with fertilizers, insecticides, fungicides, and (or) herbicides.

If 91973-05-6, 4-Morpholineacetonitrile, 3,5-diethoxy-a,2,6-trimethyl-

L6 ANSWER 29 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 1960:34276 CAPLUS DOCUMENT NUMBER: 54:34276 CRIGINAL REFERENCE NO.: 54:6724e-1,6725a

1960:34276 CAPLUS
54:34276
54:6724e-i, 6725a
Some 2,2-disubstituted-3,5-morpholinediones
Skinner, Glenn S.: Bicking, John B.: Lovett, John R.
Univ. of Delaware, Newark
JOURNAI Of Organic Chemistry (1959), 24, 1587-8
CODEN: JOCEAH; ISSN: 0022-3263
JOURNAI
Unavailable
CRESPORT 54:14276 AUTHOR (S): CORPORATE SOURCE:

SOURCE:

DOCUMENT TYPE:

OTHER SOURCE(S): CASREACT 54:34276

B In general, the 3,5-morpholinediones were prepared from the suitably substituted esters of glycolic acid by converting them to diesters of glycolic acid, then to the diamides or ammonium salts which were pyrolyzed to the substituted 3,5-morpholinediones. Preliminary pharmacol.

pyrolyzed to the substituted 3,5-morpholinediones. Preliminary pharmacol.
screening tests indicated that compds. with like substituents possess similar activities as hypnotics and anticonvulsants. NaNR2 (19.5 g.) in 300 cc. Et20 treated dropwise under reflux with 66 g. Et α-hydroxyisobutyrate, refluxed 2 hrs., H2O added, the dried Et20 layer distilled, the 23 g. of product, bl3 123-8°, dissolved in 25 cc. liquid NH3 in 175 cc. alc., the solution heated 5 days at 70-80° in a pressure bottle, and the solution concentrated gave 15.4 g. α,α-dimethyldiglycolamide (1), m. 162-3° (alc.). I (14.3 g.) heated 0.5 hr. at 200°/60 mm., the temperature raised to 260°, and the minuture distilled at 20 mm. gave 6.3 g.
2,2-dimethyl-3,5-morpholinedione, m.
74-6° (CGH6-ligroine). NaH (2.4 g.) in 100 cc. CGH6 treated during 25 min. With 16 g. Et α-ethyl-α-hydroxybutyrate, stirred 40 min., 18.4 g. BrcH2CO2Et added dropwise, the mixture refluxed 2 hrs., H2O added, and the organic layer dried and distilled gave 9.2 g. oll, b22 152-7°. A total of 90.7 g. of this oll in 340 cc. hot HCl heated 16 hrs. on the steam bath gave 41.5 g. α,α-diethyldiglycolic acid (II), m. 146-8° (EtCO2). II (28.5 g.) in 90 cc. NH4OH evaporated to dryness, the salt heated 25 min. at 190° at 50 mm., the bath temperature raised to 210°, the pressure lowered to 14 mm., and the product distilled gave 10.4 g. 2,2-diethyl-3,5-morpholinedione, m. 62-3° (iso-PrOH-H2O). Ethylpenylhydroxyacetic acid (II.4 g.) refluxed 2.5 hrs. with 60 cc. MeOH containing 0.3 cc. H2SO4, the mixture treated with 50 cc. H2O and 50 cc. saturated NaHCO3, the solution saturated with NaCl, extracted

refluxed 2.5 hrs. with 60 cc. MeOH containing 0.3 cc. H2SO4, the mixture treated with 50 cc. H2O and 50 cc. saturated NaHCO3, the solution saturated with NaCl, extracted with Et2O, and the aqueous layer worked up gave 11.1 g. Me ethylphenylhydroxyacetate (III), bo.9 86-8', n250 1.3900. III (18.8 g.) added dropwise during 2 hrs. to 1.9 g. NaH in 200 cc. C6H6 at room temperature, stirred 6.5 hrs., refluxed 1.5 hrs., at room temperature, stirred 6.5 hrs., refluxed 1.5 hrs., at room etemperature, at the c6H6 layer washed with NaHCO3 gave 14.7 g. Me α-ethyl-α-phenyl-α-carbethoxymethoxyacetate (IV), bo.7 133-5.5', n250 1.4945. IV (4.2 g.) in 100 cc. MeOH saturated with dry NH3 at -5' in a pressure bottle, left 1 week at 45-55', and the solvent removed gave a quant. yield of α-ethyl-α-phenyldiglycolamide (V), m. 175' (MeOH-Et2O) (decomposition). V was phyrolyzed at 210-20' to give an amber oil; this oil in hot MeOH treated with 6, and the filtrate treated with H2O gave 0.67 g. 2-ethyl-2-phenyl-3,5-morpholinedione, m. 124-5' (MeOH-Et2O).

IT 11876-31-6, Diglycolimide, α,α-dimethyl- (preparation of)

ANSWER 29 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN 118767-37-6 CAPLUS

(Continued)

118767-37-6 CAPLUS Diglycolimide, a,a-diethyl- (6CI) (CA INDEX NAME)

11B978-70-4 CAPLUS Diglycolimide,  $\alpha, \alpha$ -dimethyl- (6CI) (CA INDEX NAME)

L6 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1935:30848 CAPLUS
DOCUMENT NUMBER: 29:30848

ORIGINAL REFERENCE NO.: 29:3982b-i,3983a-g
Dilactylic acids
AUTHOR(S): Vieles, Pierre
SOURCE: Ann. chim. [II] (1935), 3, 143-224
JOURNET TYPE: Journal
AUTHOR(S): Unavailable
AB cf. C. A. 28, 3714.5, 5408.6. A detailed study has been made of the different varieties of dilactylic acid (I) in order to compare the properties and stability of the various isomers and to obtain the optically active modifications: The crude mixture of isomeric acids was prepared by the action of MeCH(ONa) CO2Et on MeCHBrCO2Et (II) according to the method of Jungfleisch and Godchot (C. A. 1, 2683). A solution of 245g. of freshly distilled MeCH(OH)CO2Et, [a]D -4.80\*, in 300 g. of rigorously dried Et2O was added slowly to 46 g. of Na wire in a well-cooled flask provided with a Hg valve. At the end of the reaction, 262 g. of II, prepared from MeCHBrCOBr (Ber. 20, 2026(1887)), in 200 g. Et20 was added and the mixture was refluxed for 2 h. on the steam bath. cooled mass was extracted with H2O and the dried Et2O layer was evaporated and distilled through a 1-m. Vigreux column, yielding, on redistn., 520 g. of crude di-Et dilactylate (III) which was saponified, acidified with and extracted with Et2O, producing crude I from which pure (d + 1)-acid NATION THE TRANSPORT OF A PARTIES OF A PARTI and the inactive modification (V), m. about 70°, by crystallization of Mg salt. It was shown that the excess of IV exists in the initial ester
III. The tedious separation through the Mg salt was evaded by fractional
crystallization of crude dilactylamide (VI) (Compt. rend. 145, 70(1905))
in ELOH
Which cause is discovered. COH which gave, in fine needles, the (d + 1)-amide (VII), m. 184°, and the inactive form in rhombic platelets (VIII), m. 136°. Both forms gave IV on saponification with alkalies but, on hydrolysis with N H2SO4, corresponding acids were obtained. Treatment of the 2 dilactylic esters, (d+1) and (i), with NH3 gave VII and VIII. It was shown that VIII is totally isomerized by the action of alkalies. By heating with a 50% excess of PhNH2 in a sealed tube at 170° for 12 h., III was converted into a mixture of crude dilactylanilides which, on recrystn.

that the (d + 1)-isomer is 5 times more abundant in III than the

EtOH, yielded (d+1)-dilactylanilide, m. 168°, and the inactive modification, m. 124-6°. Both gave IV on saponification with alkalies yielded the corresponding acids on hydrolysis with H2SO4. Similarly were prepared the (d+1)- and (i)-p-toluides, m. 179-80° and 145°, with analogous properties. Attempts to sep. the 2 esters from III by fractional distillation at 21 mm. failed on account of the limited range p. of the 2 esters, (d+1), 124.5°, and (i), 128.5°. From the separation effected through the Mg salts and the amides it has been

ANSWER 30 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN SSION NUMBER: 1952:29597 CAPLUS ACCESSION NUMBER: 46:29592-i,5013a 46:5012g-i,5013a y-Phenyl-a-hydroxycrotonamide Bougault, J.; Cordier, P. Bulletin de la Societe Chimique de France (1951) DOCUMENT NUMBER: ORIGINAL REFERENCE NO.: TITLE: AUTHOR (S): SOURCE : 430-4 CODEN: BSCFAS; ISSN: 0037-8968 MENT TYPE: Journal
UNGE: Unavailable
cf. C.A. 7, 3110; 20, 2673; 21, 3051. A correction. The products of the
reaction of PhCH: CHCH(OH)CONNZ with cold NaOH solution are shown to be
6-phenyl-4-hydroxy-4-carbamyl-3-benzyl-2-oxohexanoic acid (I),
PhCHZCHZC(OH)(CONNZ)CH(CHZPh)COCOZH, and its diamide (II) instead of the
previously reported PhCHZCHZC(OH)(CONNZ)CO(OH)(COCH)CHZCHZP) and its
diamide. The reaction products of I with various reagents must be
accordingly corrected Thus, at 100° I loses 1 mol. H20 to give the
lactone (III) which forms a thiosemicarbazone, m. 222°; I, II, and
III heated in alkaline medium decompose into NH3 and PhCHZCHZCOCOZH

"Ith MMOO4 gives a-bud-surve - believed." DOCUMENT TYPE: 1 with KMnO4 gives α-hydroxy-α-phenethyl-β-benzylsuccinimide (V), m. 120°, which on boiling with strong bases decompose into a mixture of IV and PhCHZCHZCOZH. V with Na2CO3 gives the succinamic acid which with AcZO at 100° yields first α-hydroxy-α-phenethyl-β-benzylsuccinic anhydride, m. 104°, and then α-phenethyl-β-benzylsuccinic anhydride, m. 75°. Treating I with HCl in AcOH gives both diastereoisomeric lactones, m. 120° (VI) and 82° (VII), resp., of the 6-phenyl-4-hydroxy-3-benzyl-2-oxohexanoic acid (VIII); VI with bases yields a mixture of PhCHZCHZCHO and IV, while VII forms an acid, m. 142° (probably VIII), which on heating rearranges to α-phenethyl-β-benzylsuccinic anhydrides previously reported (cf. P. Cordier, C.A. 24, 4284) must be replaced by the corresponding maleic anhydride derivs.
858836-55-8 CAPLUS
Diglycolimide, α-benzyl-α'-phenethyl- (COT ROBEN NAME) Diglycolimide, a-benzyl-a'-phenethyl- (5CI) (CA INDEX NAME) Ph-CH2-CH2

ANSWER 31 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(i)-modification. Treatment of III or VI with 200 NaOH, neutralization with H2SO4 and extn. with £120 gave IV, which, on heating with twice the theor. amt. of Ac20, yielded the (d + 1)-dilactylic acid anhydride, m. 36°, b20 108-9° d420 1.2106, nD20 1.44565, M. R. 31.70 (calcd. 31.30). Distn. of a mixt. of IV and PC15 or SOC12 gave (d + 1)-dilactylic acid chloride, C6H8C1203, b20 85°, reconverted into IV by hydration or by atm. exposure. Treatment of the chloride with £10H and MeOH produced the esters: £t, C10H1806, b21 124.5°, d428.1 1.0283, m428.1 1.4104, M. R. 35.12 (calcd. 53.96), and Me, C6H1405, b21 113-14°, d428.5 1.0910, nD28.5 1.4157, M. R. 43.75 (calcd. 44.56). IV gave normal Na, K, NH4 and Mg salts. By crystn. in org. solvents VII was spontaneously resolved into its optical antipodes, m. 208°, (clig, £80°. With H2O, at low temps., a hydrated racemic complex is formed. On heating at 230-40°, VII was transformed by loss of NH3 into the corresponding dilactylimide, C6H9N03, m. 122° (C. A. 1, 2683). It has been shown that dilactylidiamide in aq. soln. undergoes spontaneous resoln. and a detailed physico-chem. Study has been made of this extremely distinct resoln. As a result it has been possible to prep. the active amides in reasonably large quantities and from them

to prep. the active amides in reasonably large quantities and from them produce, for the first time, the optically active acids and some of their derivs. It is also possible, by the use of strychnine, to resolve IV, provided sufficient recrystns. are made. The biochem. resoln. with the aid of Penicillium glaucum and Aspergillus niger was unsuccessful. Spontaneous resoln. gave.VII, [a015]v #90.22°, changed on heating at 225°, partially to the racemate, m. 184°, and partially to the imide which, under all conditions, proved to be ctive.

VII yielded the active acids, m. 88° [a17]v #126.8°, rotatory dispersion ad/av 0.891, al/av 1.725. The acid obtained has always the same sign as the generating amide. Treatment of the acid with Ac20 gives the corresponding anhydride (IX) with reversed sign, b20 108-10°, d420 1.2100, nD20 1.44549, [a]v #18.57°, rotatory dispersion ad/av 0.90, al/av 1.26. The action of alc. on the active forms of IX gave the active Et esters, b20 123-4°, d428 1.0300, nD28 1.418, [aV19] #109.27°, al/av 0.881, al/av 1.685. Active sells, C6H8NA205, [a]D 84.1°, and C6H8NgOS.3H20, [a]V 20.71° with the same sign as the acids were prepd. From the relations between the signs of active dilactivic acids and their derivs. and a consideration of the

active dilactylic acids and their derivs. and a consideration of the formulas of dilactylic anhydride and the dilactide it follows that the former is a trans deriv. and the latter a cis form. The passage of the acid to these 2 forms is accompanied by a strong augmentation of the rotatory power. Sapon. of VIII with 0.5 N HZSO4 gave an acid, m. 60-5', which was freed from traces of the accompaning (d \* 1) -isomers by refluxing for 4 h. with Ac2O and, after removal of the Ac2O, disty, for a short time at reduced pressure. Crystn. of the solidified residue from a mixt. of benzene and Et2O gave pure inactive dilactylic acid, m. 72-3', which could not be converted into either the anhydride or the chloride since it was not attacked by SOC12, gave tars

treatment with PC15 and decompd. on heating. Direct esterification of

acid yielded the Et ester, b21 128.5°, d428.1 1.0251, nD28.1 1.41892, M. R. 53.72 (calcd. 53.96). The normal Na, K and NH4 salts of the inactive acid were prepd. The dilactyldiamide and Hg0 gave a Hg deriv., regenerating the amide when treated with acids. On heating, the inactive dilactyldiamide gives the (d + 1)-dilactylimide but at a much

ANSWER 31 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) lower temp. (180\*) than the (d + 1) -amide. From a comparison of the (d + 1) and inactive dilactylic acids it would seem that the 2 CO2H groups of the (i)-acid are further apart than in the active modifications or at least in a position less favorable to cyclization. With the aid of the above facts plane formulas are proposed to represent the spatial configurations. Some of the exptl.results and generalizations may be applicable to the other homologs of diglycolic acid whose chem. study is yet little advanced. 4430-01-7P, Dilactylimide RL: PREP (Preparation) (preparation of) 4430-01-7 CAPLUS 3,5-Morpholinedione, 2,6-dimethyl- (SCI, 9CI) (CA INDEX NAME)

3,5-Morpholinedione, 2,6-dimethyl- (8CI, 9CI) (CA INDEX NAME)

L6 ANSWER 33 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 1926:21857 CAPLUS COCUMENT NUMBER: 20:21857 ORIGINAL REFERENCE NO.: 20:2673b-e

AUTHOR (S): SOURCE:

DOCUMENT TYPE:

STRAL REFERENCE NO.: 20:2673b-e

Organic peroxides. X. Classification of the reactions of the diacyl peroxides. XI. Action of dibenzoyl peroxide on cyclohexane

GR (S): Gelissen, H.; Hermans, P. H.

KCE: Berichte der Deutschen Chemischen Gesellschaft [Abteilung] B: Abhandlungen (1926), 59B, 662-6

CODEN: BDCBAD; ISSN: 0365-9488

MENT TYPE: Journal

UNAVAILABLE Unavailable

For diagram(s), see printed CA Issue.

cf. C. A. 20, 1611. The reactions of the diacyl peroxides may be classified into the following groups: 1. Pyrogenic decomposition with elimination of 2 mols. CO2: (RCO)202 - 2CO2 + R2; this reaction takes place when the peroxide is heated alone or in a solvent above its

p. 2. Reactions according to the R. H. scheme with elimination of 1 mol. Co2 and participation of the solvent: (see structure). 3. Reactions in which a sym cleavage of the O bridge, without elimination of CO2,

which a sym. cleavage of the O bridge, without elimination of CO2, 1751

(RCO)2O2 + 2H - 2RCO2H (hydrogenation, action of secondary amines and of substances sensitive to dehydrogenation, of Grignard reagents and of alkali halides). 4. Reactions in which the diacyl peroxides act like acid shiydrides: (RCO)2O3 + R'NH2 (or HOH) - RCO2OH + R'NH2 ON (or RCO2H) (action with H2O, bases, primary amines, als. (in the cold), etc.). Naturally, 2 or more of the above types of reactions may occur simultaneously. A new reaction according to the R. H. scheme and further illuminating the general validity of the scheme is reported. Bz2O2 (60.5 g.) refluxed in 150 g. dry cyclohexane dissolves and evolves CO2 for 22 hrs.; distillation now gives 134.0 g. distillate and 63.0 g. residue. a the residue are obtained 5 g. phenylcyclohexane, bl7 80°, b760 239°, solidifies 7°, nD18 1.5274, 5.2 g. BzOH and about 50 g. of a Viscous yellow mass non-volatile with steam from which was isolated about 5 g. of p-PhcGCO2H. The distillate yielded 4.6 g. C6H6 (isolated as PhNO2) 854836-55-8P, 3,5-Morpholinedione, 2-benzyl-6-phenethyl-RL: PREP (Preparation of) 854836-55-8 CAPLUS Diglycolimide, a-benzyl-a'-phenethyl- (5CI) (CA INDEX NAME)

ANSWER 32 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

SSION NUMBER: 1926:21858 CAPLUS

MENT NUMBER: 20:21858

CC: Compt. rend. (1926), 182, 1224-5

JOURNAL TYPE: Journal

UNGU: Unavailable

For diagram(s), see printed CA Issue.

Cf. C. A. 19, 3265; 20, 1232, 1798, 2157. A correction. The formula of the imide prepared by MOMO4 oxidation of the amine acid

PHCH2CHZC(DH) (CO2H) CC- (CH2CHZPh) (OH) COMPL should be

PHCH2CHZCH.CO.NN.CO.CH(O) CH2Ph instead of PHCH2CHZC.CO.NH.CO.CH(O) CH2Ph, the formulas of other derived compds. being correspondingly subject to correction. DOCUMENT NUMBER: ORIGINAL REFERENCE NO.: TITLE: AUTHOR(S): SOURCE: DOCUMENT TYPE: LANGUAGE: correction. 854836-55-8P, 3,5-Morpholinedione, 2-benzyl-6-phenethyl-RL: PREP (Preparation) (preparation of) 854836-55-8 CAPLUS

Diglycolimide, a-benzyl-a'-phenethyl- (5CI) (CA INDEX NAME)

Ph- CH2- CH2

L6 ANSWER 34 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 1925:25066 CAPLUS COCUMENT NUMBER: 19:25066 ORIGINAL REFERENCE NO.: 19:3265b-f

Phenyl- $\alpha$ -hydroxycrotonamide. An example of the ether of ketone hydrate TITLE:

AUTHOR (S):

Bougault, J. Compt. rend. (1925), 180, 1944-6 Journal SOURCE:

DOCUMENT TYPE:

Unavailable
For diagram(s), see printed CA Issue.
of. C. A. 7, 1486. The product of the action of soda on
phenyl-α-hydroxycrotonic amide is the amido acid,
PhCHZCHZC(OH) (COZN)C(CHZCHZPh) (OH)CONNZ, containing an ether grouping

result of the dehydration between the hydroxyls of the ketone hydrate group. With NMn04 it gives an imide (I) and CO2. The reaction is very complex, involving a change in the linkage of the C atoms. I m. 120° and on prolonged boiling with soda, is decomposed into PhCH2CH2COC2H, PhCH2CH2CO2H and NH3. When dissolved in hot Na2CO3 until there is no turbidity upon cooling, I is hydrolyzed to the corresponding amido acid, (II) or (III), m. 170°. If the hydrolysis is continued with NaOH, the product is the dibasic scid IV, m. 204°. This action is reversible. I' when heated with Ac2O for several min. at 100°, gives an anhydride m. 104° and regenerates IV with alkalies. If the heating with Ac2O is prolonged for several hrs., there is obtained a different anhydride (V) or (VI), m. 75°, isomeric with the first, insol: in cold aqueous Na2CO3 and slightly acid; olved in

weak NaOH and acidified with HCl, it regenerates the anhydride itself and not the IV. The Me ester m. 53° and, upon saponification again yields

anhydride in large part. Na-Hg is without action upon IV, while it reduces the anhydride, yielding a new dibasic acid PhCHZCHZCH(COZH)CH(CHZPh)COZH, m. 170°. 854836-55-8P, 3,5-Morpholinedione, 2-benzyl-6-phenethyl-RL: PREP (Preparation) (preparation of) 854836-55-8 CAPLUS Diglycolimide, \alpha-benzyl-\alpha'-phenethyl- (5CI) (CA INDEX NAME)

L6 ANSWER 35 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1:007:11115 CAPLUS
DOCUMENT NUMBER: 1:1115
ORIGINAL REFERENCE NO.: 1:2683h-1,2684a-e
TITLE: On Diglycollic Acid and its Homologues
AUTHOR(S): Jungfleisch, E.; Godchot, M.
SOURCE: Compt. rend. (1907), 145, 70-73
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
G1 For diagram(s), see printed CA Issue.
AB Diethyl diglycolate, O(CH2CO2C2H5)2, was prepared by treating the sodium
salt of ethyl glycollate with ethyl chloracetate in anhydrous ether; b20
129-130\*. Diethyl Methyldiglycollate, C2H3.02C.CH(CH2)CO2C2H3,
from the sodium salt of ethyl lactate and ethyl chloracetate, or the
sodium salt of ethyl glycollate and ethyl α-brompropionate, b20
122-125\*, D20 1.0743; insoluble in water. Methyldiglycollac acid,
HOZC.CH2CCH(CH3)CO2H, m. 30\*. Very soluble in ether and alcohol,
difficultly soluble in benzene; very hygroscopic. The alkaii and alkali
earth salts are very soluble in water and insoluble in alcohol. When the
acid was distilled, it was converted into its cyclo-anhydride, b21
122\*125\*, D20 1.2725. Treatment with water regenerates the
acid. The anhydride reacts with ammonia at ordinary temperature giving
the amide of methyldiglycollic acid, NH2COCH2.O.CH(CH3)CONH3, which
crystallizes from a mixture of alcohol and acetone in small prisms, m.
126\*; very soluble in water. When heated at 150\*, ammonia
was evolved and the amide derivative, obtained. Amide of Dilactic acid.
O(CH(CH3)CONH3)2, m. 156\*, easily soluble in water and alcohol,
difficultly soluble in ether and benzene. Imide, crystallized from
benzene in prismatic crystals, m. 123\*, soluble in water and
alcohol, insoluble in ther.
17 4430-01-7 P, Dilactylimide
RL: PREP (Preparation)
(preparation of)
RN 4430-01-7 CAPLUS
CN 3,5-Morpholinedione, 2,6-dimethyl- (8CI, 9CI) (CA INDEX NAME)

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